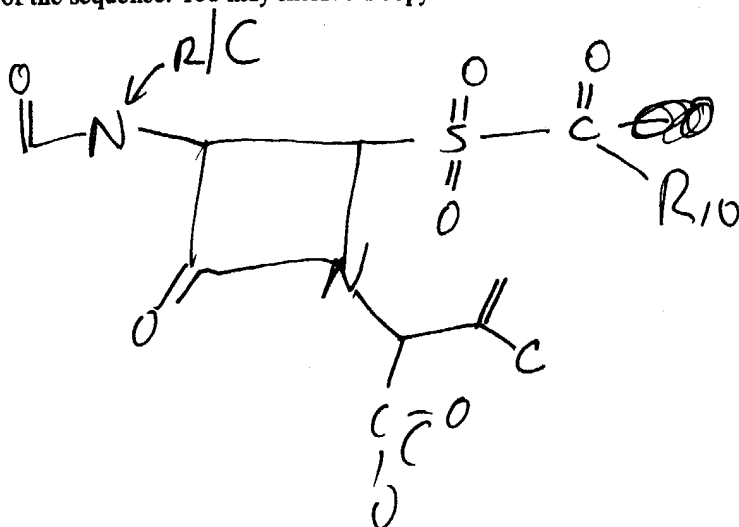


Date: 6/9/04 Phone: 571-272-0663 Art Unit: 1624
Office Per 5C01 Mailbox 5C18

Search Topic:

Search Topic: Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevant citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevant claim(s).


$$R_{10} = C(R_{\text{chain}})$$
STAFF USE ONLY

Date completed: _____

Searcher: _____

Terminal time: _____

Elapsed time: _____

CPU time: _____

Search Site

STIC

CM-1

Pre-S

Type of Search

Vendors

IG

STN

Dialog

APS

=> d his ful

(FILE 'HOME' ENTERED AT 13:13:40 ON 15 JUN 2004)

FILE 'REGISTRY' ENTERED AT 13:13:49 ON 15 JUN 2004

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L3 0 SEA SSS FUL L1
L4 STR L1
L5 0 SEA SSS SAM L4
L6 0 SEA SSS FUL L4
L7 STR L4
L8 0 SEA SSS SAM L7
L9 STR L7
L10 0 SEA SSS SAM L9
L11 STR L4
L12 0 SEA SSS SAM L11
L13 0 SEA SSS FUL L11
L14 STR L7
L15 STR L7
L16 0 SEA SSS SAM L15
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L18 STR L15
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L20 0 SEA SSS FUL L18
L21 STR L18
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L23 1 SEA SSS FUL L21

1 copyd from Reg. - see d gne stat

FILE 'CASREACT' ENTERED AT 13:50:09 ON 15 JUN 2004

L24 1 SEA ABB=ON L23

1 cut from CASReact

FILE 'HCAPLUS' ENTERED AT 13:50:53 ON 15 JUN 2004

L25 1 SEA ABB=ON L23

1 cut from CAPlus

FILE 'REGISTRY' ENTERED AT 14:12:44 ON 15 JUN 2004

L26 STR L21

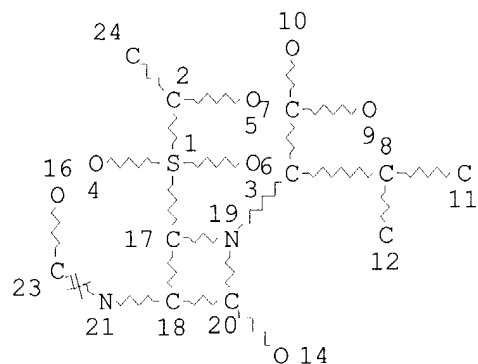
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L28 1 SEA SSS FUL L26

1 cut from MarPat

=> d que stat l24
L21 STR



NODE ATTRIBUTES:

NSPEC IS RC AT 21
NSPEC IS RC AT 24
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 21

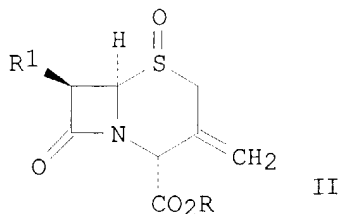
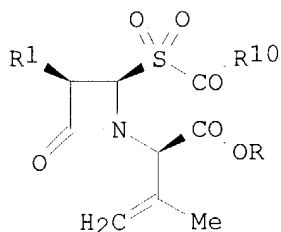
STEREO ATTRIBUTES: NONE

L23 1 SEA FILE=REGISTRY SSS FUL L21
L24 1 SEA FILE=CASREACT ABB=ON L23

=> d ibib abs hitstr 125 1-1

L25 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 2001:618007 HCAPLUS
 DOCUMENT NUMBER: 135:180659
 TITLE: Process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via intramolecular cyclization
 INVENTOR(S): Cooper, Robin; Barrett, Anthony
 PATENT ASSIGNEE(S): Cooper Consulting Inc., USA
 SOURCE: PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060828	A1	20010823	WO 2001-US4410	20010210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1183262	A1	20020306	EP 2001-910546	20010210
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US 2003036650	A1	20030220	US 2001-958857	20011231
US 6683176	B2	20040127		
US 2004106790	A1	20040603	US 2003-706683	20031112
PRIORITY APPLN. INFO.:			US 2000-183083P	P 20000216
			WO 2001-US4410	W 20010210
			US 2001-958857	A3 20011231
OTHER SOURCE(S):		CASREACT 135:180659; MARPAT 135:180659		
GI				



AB Processes were presented for the use of β -lactams, such as I [R = Me, NO₂-4-C₆H₄CH₂, carboxy protecting group; R₁ = phthalimido, PhOCH₂CO, PhCH₂CO, acylamino, imidazolidinyl; R₁₀ =], as intermediates for the synthesis of corresponding 3-methylene cephams II. The synthetic processes included the intramol. cyclization of penicillin sulfoxide derived monocyclic azetidinone derivs. either thermally or with lanthanide metal salt catalysts. Thus, β -lactam I (R = R₁₀ = Me, R₁ =

phthalimido) underwent intramol. cyclization in MeNO₂ in the presence of [Yb(OH₂)₉](OTf)₃ at rt for 3 h to give the corresponding cephem II in 65% yield as a mixture of (R)- and (S)-S(O) diastereoisomers.

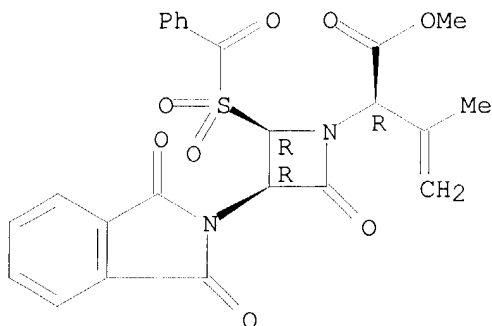
IT **355378-24-4**

RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

RN 355378-24-4 HCAPLUS

CN 1-Azetidineacetic acid, 2-(benzoylsulfonyl)-3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)- α -(1-methylethenyl)-4-oxo-, methyl ester,
(α R,2R,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



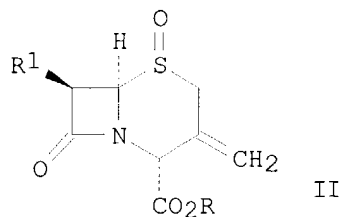
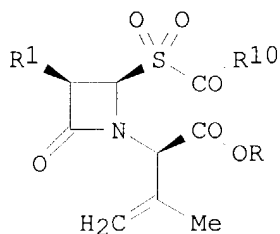
REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 1 OF 1 CASREACT COPYRIGHT 2004 ACS on STN
 AN 135:180659 CASREACT
 TI Process for preparation of 3-methylene cepham from monocyclic
 β -lactam intermediates via intramolecular cyclization
 IN Cooper, Robin; Barrett, Anthony
 PA Cooper Consulting Inc., USA
 SO PCT Int. Appl., 32 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 IC ICM C07D501-24
 ICS C07D205-095
 CC 26-5 (Biomolecules and Their Synthetic Analogs)
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060828	A1	20010823	WO 2001-US4410	20010210
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,				
	HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,				
	LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,				
	SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				
	YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,				
	DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1183262	A1	20020306	EP 2001-910546	20010210
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO				
	US 2003036650	A1	20030220	US 2001-958857	20011231
	US 6683176	B2	20040127		
	US 2004106790	A1	20040603	US 2003-706683	20031112
PRAI	US 2000-183083P		20000216		
	WO 2001-US4410		20010210		
	US 2001-958857		20011231		
OS	MARPAT 135:180659				
GI					



AB Processes were presented for the use of β -lactams, such as I [R = Me, NO₂-4-C₆H₄CH₂, carboxy protecting group; R₁ = phthalimido, PhOCH₂CO, PhCH₂CO, acylamino, imidazolidinyl; R₁₀ =], as intermediates for the synthesis of corresponding 3-methylene cephams II. The synthetic processes included the intramol. cyclization of penicillin sulfoxide derived monocyclic azetidinone derivs. either thermally or with lanthanide metal salt catalysts. Thus, β -lactam I (R = R₁₀ = Me, R₁ = phthalimido) underwent intramol. cyclization in MeNO₂ in the presence of

[Yb(OH₂)₉](OTf)₃ at rt for 3 h to give the corresponding cephem II in 65% yield as a mixture of (R)- and (S)-S(O) diastereoisomers.

ST cephem synthon prepn; beta lactam cephem intermediate prepn; ytterbium catalyst beta lactam intramol cyclization

IT Cyclization
(cephams; process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

IT Cyclization catalysts
(intramol.; process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

IT Synthons
(process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

IT Lactams
RL: RCT (Reactant); RACT (Reactant or reagent)
(β -, monocyclic, cephams; process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

IT 54761-04-5, Ytterbium(III) triflate 67878-38-0
RL: CAT (Catalyst use); USES (Uses)
(process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

IT 55029-63-5P 60771-25-7P 60771-26-8P 355378-19-7P 355378-20-0P
355378-21-1P 355378-22-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

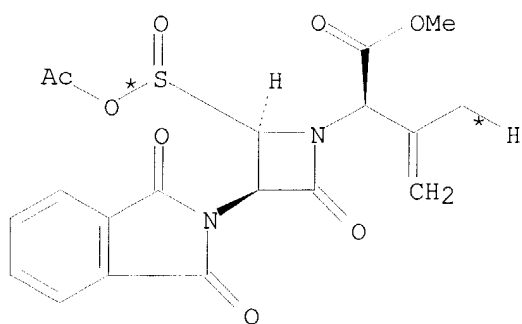
IT 127-09-3 128-09-6 563-63-3 40028-89-5 355378-23-3 355378-24-4
355378-25-5 355378-26-6 355378-27-7 355378-28-8 355378-29-9
355378-30-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of 3-methylene cephams from monocyclic β -lactam intermediates via ytterbium catalyzed and thermal intramol. cyclizations)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

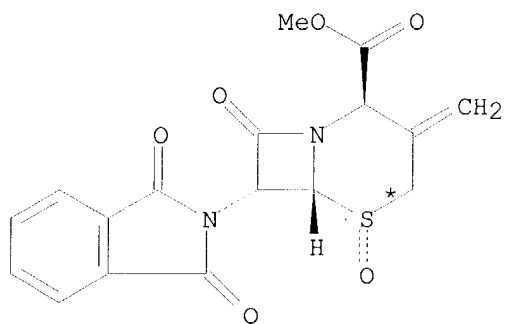
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(1) Kovcevic; US 5250525 A 1993 CAPLUS

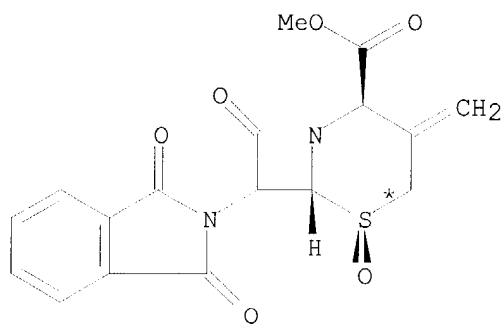
RX(1) OF 14 ...2 A ==> B + C



2 A

(1) \longrightarrow 

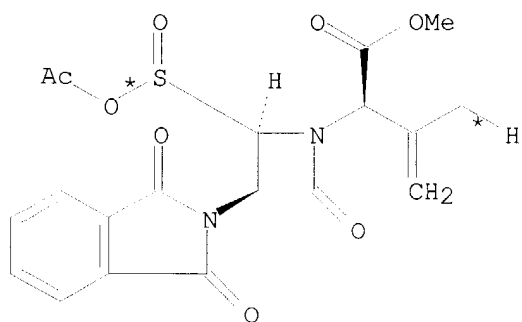
B



C

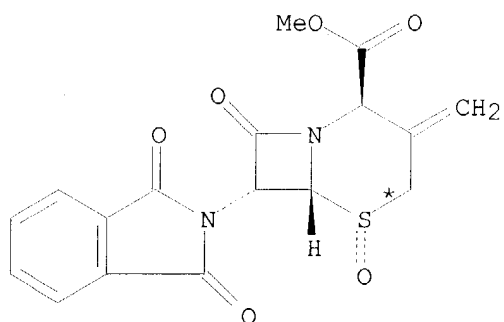
RX(1) RCT A 355378-23-3
 PRO B 60771-25-7, C 60771-26-8
 CAT 67878-38-0 Methanesulfonic acid, trifluoro-, ytterbium(3+) salt,
 nonahydrate
 SOL 75-05-8 MeCN
 NTE key step; 73% overall

RX(2) OF 14 2 A \implies B + C

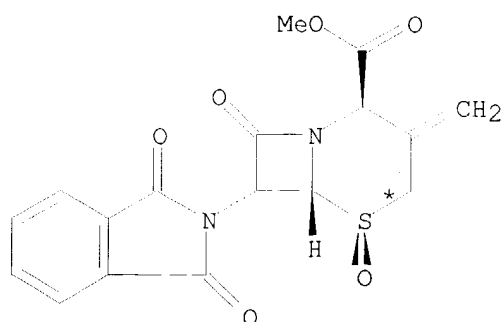


2 A

(2) \longrightarrow



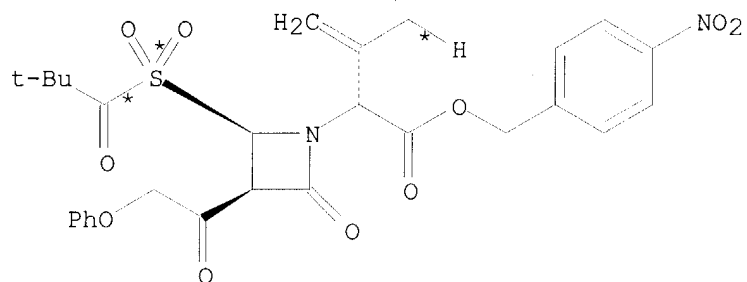
B



C

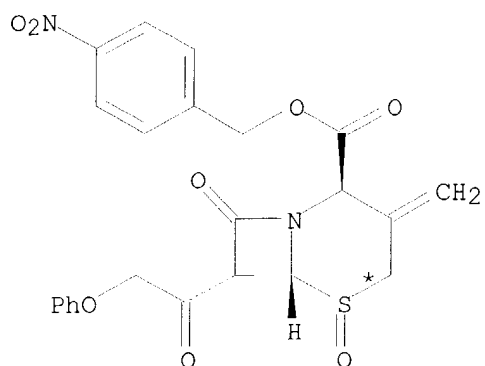
RX(2) RCT A 355378-23-3
 PRO B 60771-25-7, C 60771-26-8
 CAT 54761-04-5 Methanesulfonic acid, trifluoro-, ytterbium(3+) salt
 SOL 75-05-8 MeCN
 NTE key step; 10% overall

RX(3) OF 14 G ==> H



G

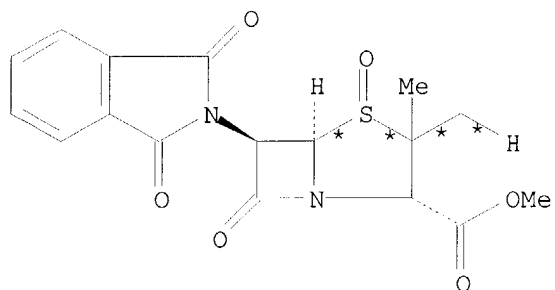
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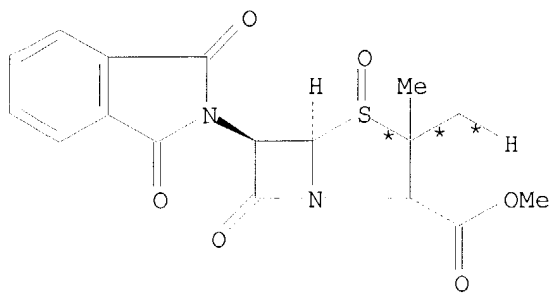
H
YIELD 56%

RX(3) RCT G 355378-25-5
 PRO H 355378-22-2
 CAT 54761-04-5 Methanesulfonic acid, trifluoro-, ytterbium(3+) salt
 SOL 75-05-8 MeCN

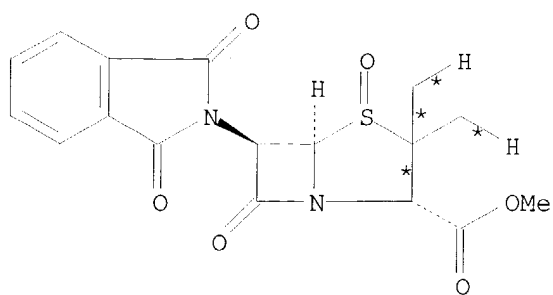
RX(4) OF 14 5 I + 2 J + 2 K ==> L + M + N + O + A...



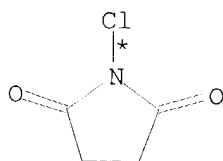
3 I



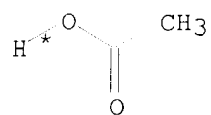
I



I



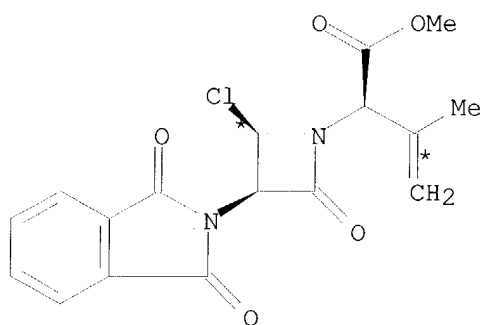
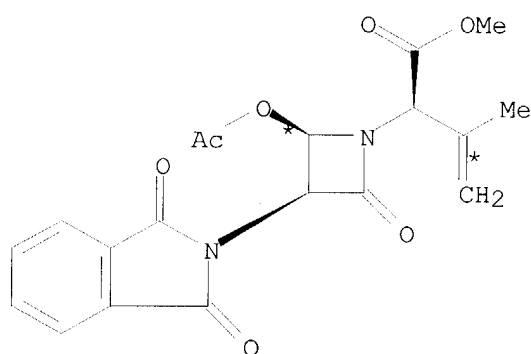
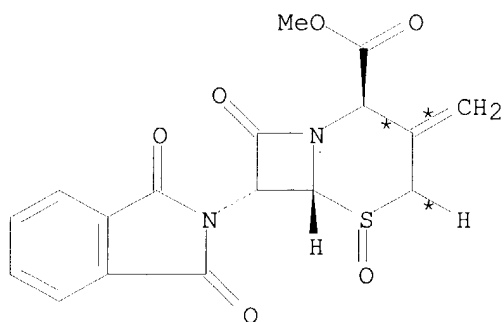
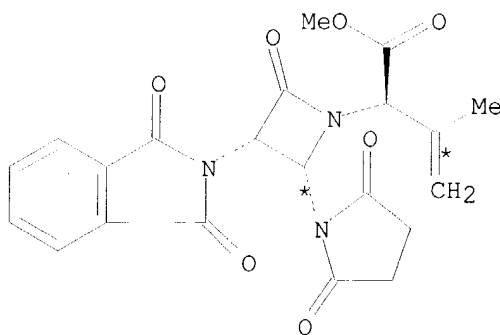
2 J



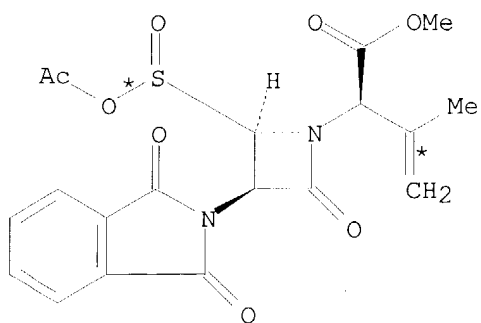
2 K

● Ag(I)

(4) →

L
YIELD 4%M
YIELD 3%N
YIELD 10%

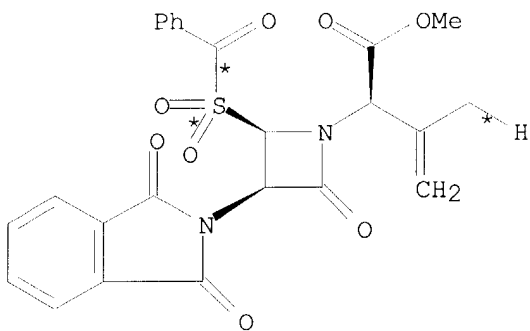
O



A
YIELD 82%

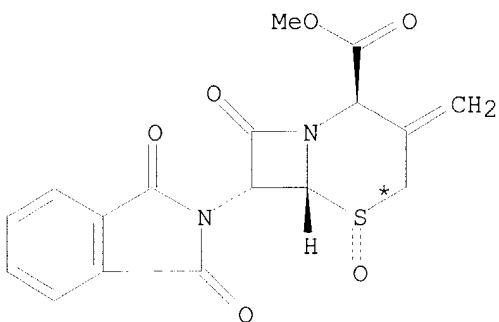
RX(4) RCT I 40028-89-5, J 128-09-6, K 563-63-3
PRO L 55029-63-5, M 355378-19-7, N 355378-20-0, O 355378-21-1, A
355378-23-3
SOL 56-23-5 CCl4

RX(5) OF 14 2 Q ==> B + C

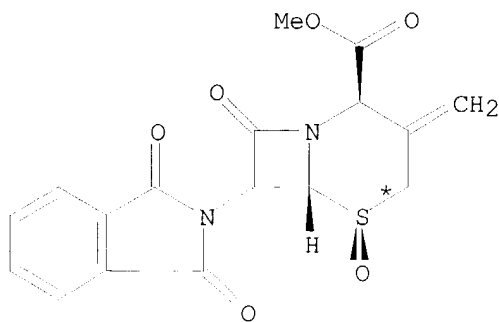


2 Q

(5) >



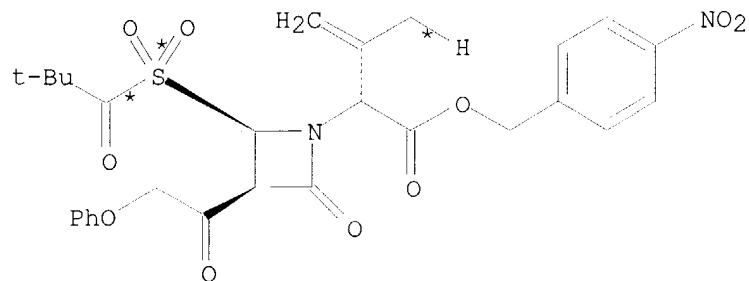
B



C

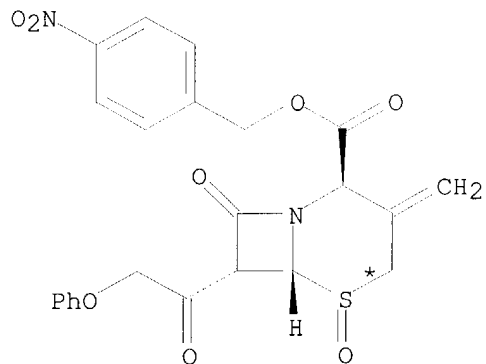
RX(5) RCT Q 355378-24-4
 PRO B 60771-25-7, C 60771-26-8
 CAT 67878-38-0 Methanesulfonic acid, trifluoro-, ytterbium(3+) salt, nonahydrate
 SOL 75-05-8 MeCN
 NTE 50% overall

RX(6) OF 14 G ==> H



G

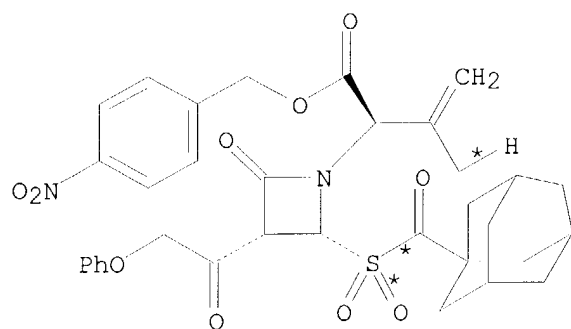
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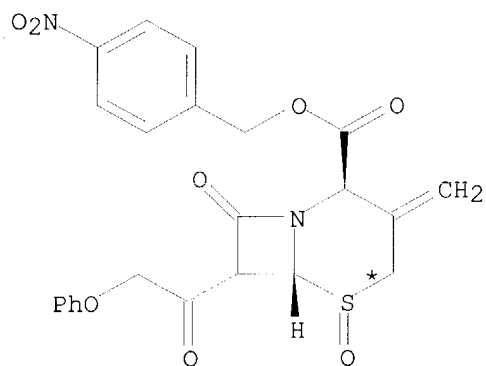
H
 YIELD 29%

RX(6) RCT G 355378-25-5
 PRO H 355378-22-2
 NTE thermal (65°); neat

RX(7) OF 14 R ==> H



R

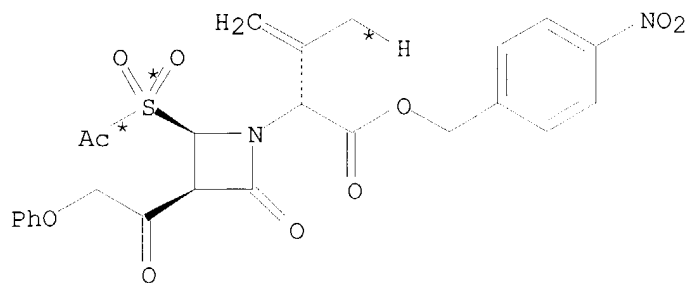
(7) \longrightarrow 

H

YIELD 24%

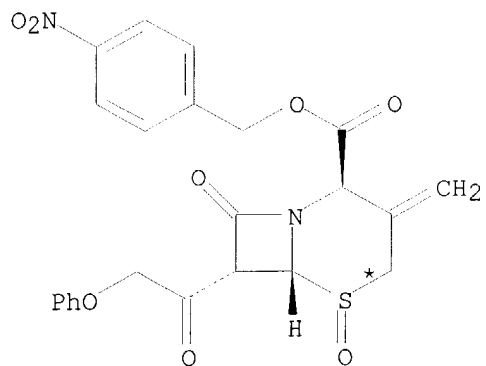
RX(7) RCT R 355378-26-6
 PRO H 355378-22-2
 CAT 54761-04-5 Methanesulfonic acid, trifluoro-, ytterbium(3+) salt
 SOL 75-05-8 MeCN

RX(8) OF 14 S \implies H



S

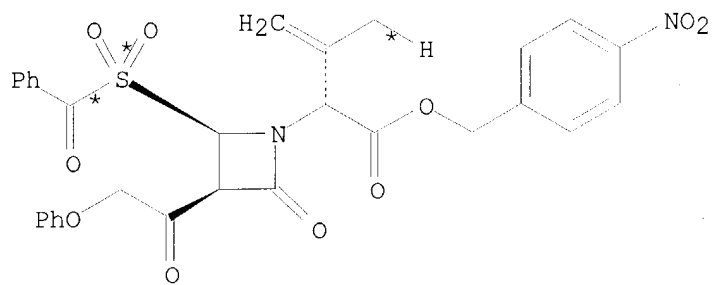
(8) \longrightarrow



H
YIELD 50%

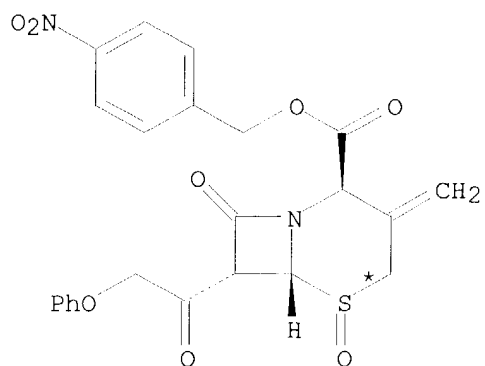
RX(8) RCT S 355378-27-7
 PRO H 355378-22-2
 NTE thermal (55°); neat

RX(9) OF 14 T ==> H



T

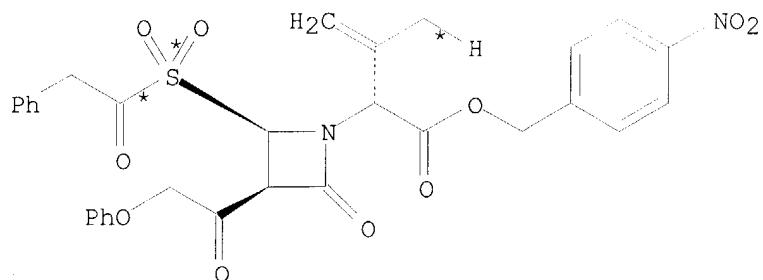
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H
YIELD 22%

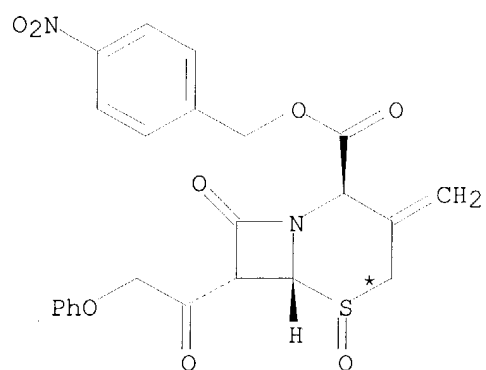
RX(9) RCT T 355378-28-8
 PRO H 355378-22-2
 NTE thermal (125°); neat

RX(10) OF 14 U ==> H



U

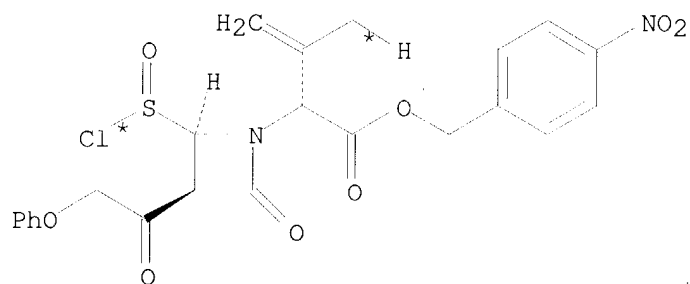
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H
YIELD 31%

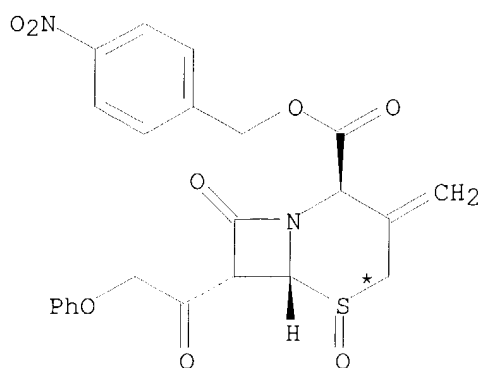
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PRO H 355378-22-2
NTE thermal (125°); neat

RX(11) OF 14 V ==> H



V

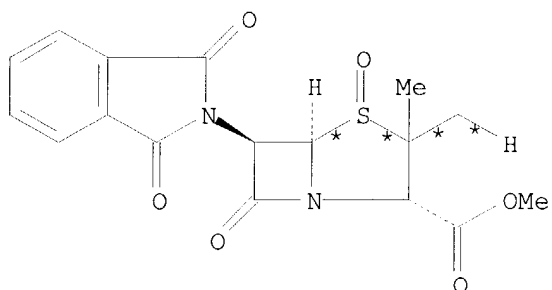
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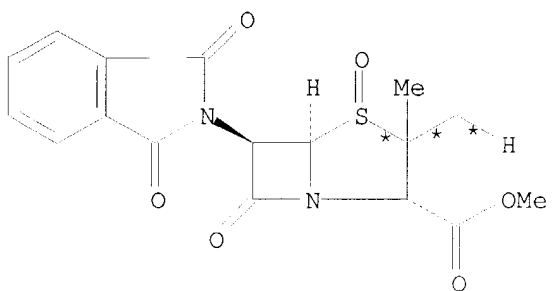
H
YIELD 64%

RX(11) RCT V 355378-30-2
PRO H 355378-22-2
CAT 54761-04-5 Methanesulfonic acid, trifluoro-, ytterbium(3+) salt
SOL 75-05-8 MeCN

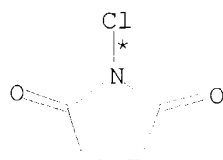
RX(12) OF 14 4 I + 2 J + 2 K ==> L + M + O + A...



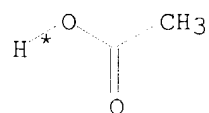
3 I



I



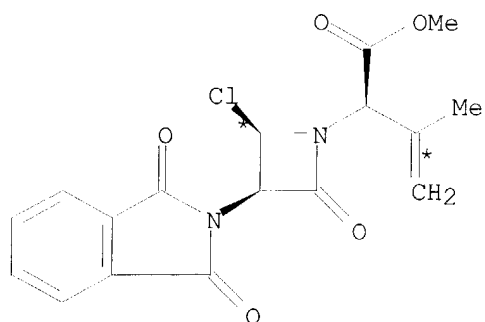
2 J



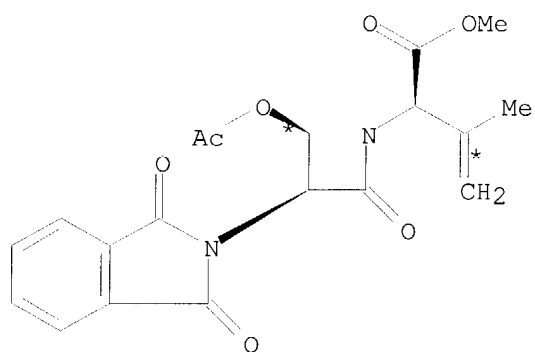
● Ag(I)

2 K

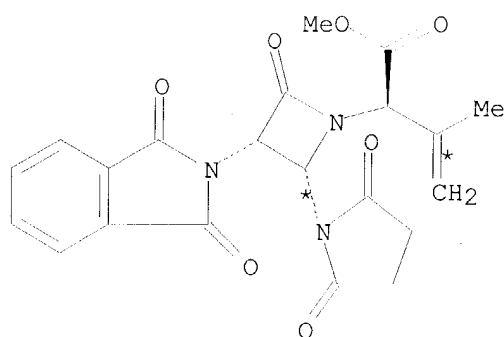
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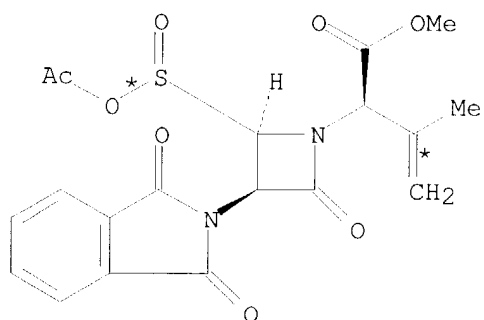
L
YIELD 17%



M
YIELD 15%



O
YIELD 4%



A
YIELD 64%

RX(12) RCT I 40028-89-5, J 128-09-6, K 563-63-3

Berch 10/706,683

15/06/2004

STAGE(1)

SOL 56-23-5 CC14

STAGE(2)

RGT W 127-09-3 AcONa

PRO L 55029-63-5, M 355378-19-7, O 355378-21-1, A 355378-23-3

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=> d ibib abs 128 1-1

L28 ANSWER 1 OF 1 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 135:180659 MARPAT

TITLE: Process for preparation of 3-methylene cepham from monocyclic β -lactam intermediates via intramolecular cyclization

INVENTOR(S): Cooper, Robin; Barrett, Anthony

PATENT ASSIGNEE(S): Cooper Consulting Inc., USA

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

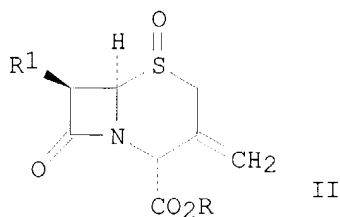
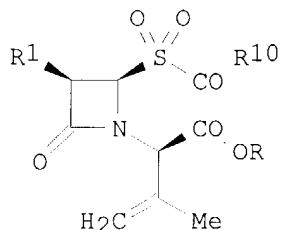
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060828	A1	20010823	WO 2001-US4410	20010210
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1183262	A1	20020306	EP 2001-910546	20010210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2003036650	A1	20030220	US 2001-958857	20011231
US 6683176	B2	20040127		
US 2004106790	A1	20040603	US 2003-706683	20031112
PRIORITY APPLN. INFO.:				
			US 2000-183083P	20000216
			WO 2001-US4410	20010210
			US 2001-958857	20011231

OTHER SOURCE(S): CASREACT 135:180659

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AB Processes were presented for the use of β -lactams, such as I [R = Me, NO₂-4-C₆H₄CH₂, carboxy protecting group; R1 = phthalimido, PhOCH₂CO, PhCH₂CO, acylamino, imidazolidinyl; R10 =], as intermediates for the synthesis of corresponding 3-methylene cephams II. The synthetic processes included the intramol. cyclization of penicillin sulfoxide derived monocyclic azetidinone derivs. either thermally or with lanthanide

metal salt catalysts. Thus, β -lactam I (R = R10 = Me, R1 = phthalimido) underwent intramol. cyclization in MeNO₂ in the presence of [Yb(OH₂)₉](OTf)₃ at rt for 3 h to give the corresponding cephem II in 65% yield as a mixture of (R)- and (S)-S(O) diastereoisomers.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT